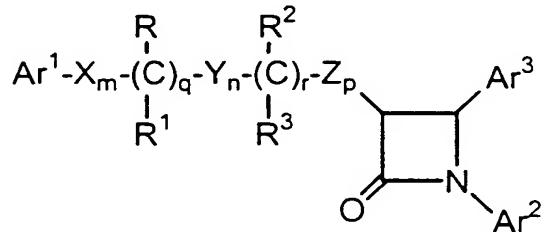


THEREFORE, WE CLAIM:

1. A composition comprising:
 - (a) at least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate thereof or prodrug of the at least one sterol absorption inhibitor or of the salt or solvate thereof; and
 - (b) at least one blood modifier for vascular conditions which is different from component (a) above.
- 10 2. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (I):



(I)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (I) or of the isomers thereof, or prodrugs of the compounds of Formula (I) or of the isomers, salts or solvates thereof,

wherein:

Ar¹ and Ar² are independently selected from the group consisting of aryl and R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

R and R² are independently selected from the group consisting of -OR⁶,

-O(CO)R⁶, -O(CO)OR⁹ and -O(CO)NR⁶R⁷;

R¹ and R³ are independently selected from the group consisting of hydrogen, lower alkyl and aryl;

q is 0 or 1;

5 r is 0 or 1;

m, n and p are independently selected from 0, 1, 2, 3 or 4; provided that at least one of q and r is 1, and the sum of m, n, p, q and r is 1, 2, 3, 4, 5 or 6; and provided that when p is 0 and r is 1, the sum of m, q and n is 1, 2, 3, 4 or 5;

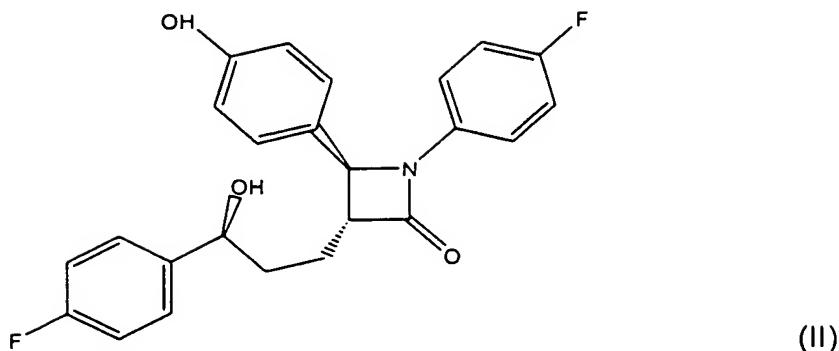
10 R⁴ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶, -CH=CH-COOR⁶, -CF₃, -CN, -NO₂ and halogen;

15 R⁵ is 1-5 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

20 R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

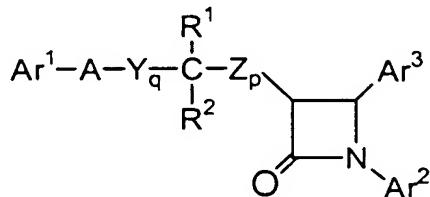
R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

25 3. The composition according to claim 2, wherein the sterol absorption inhibitor is represented by Formula (II) below:



or pharmaceutically acceptable salts or solvates thereof, or prodrugs of the compound of Formula (II) or of the salts or solvates thereof.

4. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (III):



or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (III) or of the isomers thereof, or prodrugs of the compounds of Formula (III) or of the isomers, salts or solvates thereof, wherein, in Formula (III) above:

15 Ar¹ is R³-substituted aryl;

Ar² is R⁴-substituted aryl;

Ar³ is R⁵-substituted aryl;

Y and Z are independently selected from the group consisting of -CH₂-, -CH(lower alkyl)- and -C(dilower alkyl)-;

20 A is selected from -O-, -S-, -S(O)- or -S(O)₂;

R¹ is selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ and

-O(CO)NR⁶R⁷; R² is selected from the group consisting of hydrogen, lower alkyl and aryl; or R¹ and R² together are =O;

q is 1, 2 or 3;

p is 0, 1, 2, 3 or 4;

5 R⁵ is 1-3 substituents independently selected from the group consisting of -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁹, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂-lower alkyl, -NR⁶SO₂-aryl, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂-alkyl, S(O)₀₋₂-aryl, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, o-halogeno, m-halogeno, o-lower alkyl, m-lower alkyl, -(lower alkylene)-COOR⁶, and -CH=CH-COOR⁶;

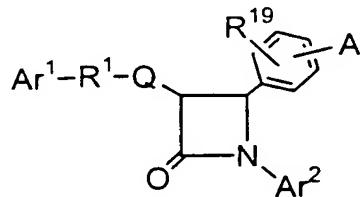
10 R³ and R⁴ are independently 1-3 substituents independently selected from the group consisting of R⁵, hydrogen, p-lower alkyl, aryl, -NO₂, -CF₃ and p-halogeno;

15 R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl; and

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl.

5. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (IV):

20



(IV)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds

25 of Formula (IV) or of the isomers thereof, or prodrugs of the compounds of Formula

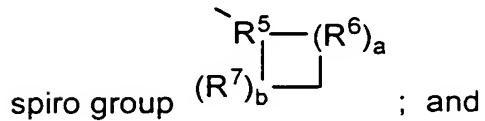
(IV) or of the isomers, salts or solvates thereof, wherein, in Formula (IV) above:

A is selected from the group consisting of R^2 -substituted heterocycloalkyl, R^2 -substituted heteroaryl, R^2 -substituted benzofused heterocycloalkyl, and R^2 -substituted benzofused heteroaryl;

Ar¹ is aryl or R^3 -substituted aryl;

5 Ar² is aryl or R^4 -substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone, forms the



10 R¹ is selected from the group consisting of:

- $(CH_2)_q$ -, wherein q is 2-6, provided that when Q forms a spiro ring, q can

also be zero or 1;

15 - $(CH_2)_e$ -G- $(CH_2)_r$ -, wherein G is -O-, -C(O)-, phenylene, -NR⁸- or

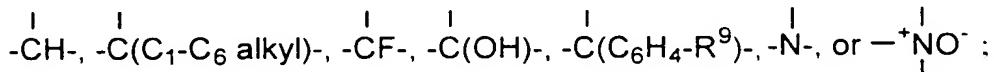
-S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;

- $(C_2-C_6$ alkenylene)-; and

- $(CH_2)_f$ -V- $(CH_2)_g$ -, wherein V is C_3-C_6 cycloalkylene, f is 1-5 and g is 0-5,

provided that the sum of f and g is 1-6;

15 R⁵ is selected from:



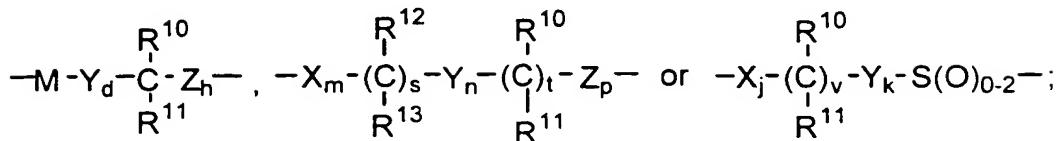
20 R⁶ and R⁷ are independently selected from the group consisting of - CH_2 -, - $CH(C_1-C_6$ alkyl)-, -C(di- $(C_1-C_6$ alkyl), - $CH=CH$ - and

- $C(C_1-C_6$ alkyl)= CH -; or R⁵ together with an adjacent R⁶, or R⁵ together with an adjacent R⁷, form a - $CH=CH$ - or a - $CH=C(C_1-C_6$ alkyl)- group;

25 a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R⁶ is - $CH=CH$ - or - $C(C_1-C_6$ alkyl)= CH -, a is 1; provided that when R⁷ is - $CH=CH$ - or - $C(C_1-C_6$ alkyl)= CH -, b is 1; provided that when a is 2 or 3, the R⁶'s can

be the same or different; and provided that when b is 2 or 3, the R⁷'s can be the same or different;

and when Q is a bond, R¹ also can be selected from:



where M is -O-, -S-, -S(O)- or -S(O)₂;

X, Y and Z are independently selected from the group consisting of

5 -CH₂-, -CH(C₁-C₆ alkyl)- and -C(di-(C₁-C₆) alkyl);

R¹⁰ and R¹² are independently selected from the group consisting of
-OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶ and -O(CO)NR¹⁴R¹⁵;

R¹¹ and R¹³ are independently selected from the group consisting of hydrogen,
(C₁-C₆)alkyl and aryl; or R¹⁰ and R¹¹ together are =O, or R¹² and R¹³ together are =O;

10 d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4; provided that at least
one of s and t is 1, and the sum of m, n, p, s and t is 1-6; provided that when p is 0
and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the
sum of m, t and n is 1-5;

15 v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;

R² is 1-3 substituents on the ring carbon atoms selected from the group
consisting of hydrogen, (C₁-C₁₀)alkyl, (C₂-C₁₀)alkenyl, (C₂-C₁₀)alkynyl,

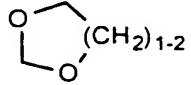
20 (C₃-C₆)cycloalkyl, (C₃-C₆)cycloalkenyl, R¹⁷-substituted aryl, R¹⁷-substituted benzyl,

R¹⁷-substituted benzyloxy, R¹⁷-substituted aryloxy, halogeno, -NR¹⁴R¹⁵,

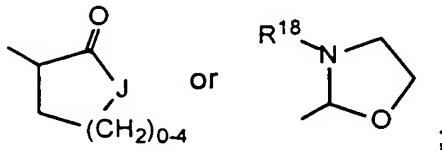
NR¹⁴R¹⁵(C₁-C₆ alkylene)-, NR¹⁴R¹⁵C(O)(C₁-C₆ alkylene)-, -NHC(O)R¹⁶,

OH, C₁-C₆ alkoxy, -OC(O)R¹⁶, -COR¹⁴, hydroxy(C₁-C₆)alkyl, (C₁-C₆)alkoxy(C₁-

C₆)alkyl, NO₂, -S(O)₀₋₂R¹⁶, -SO₂NR¹⁴R¹⁵ and -(C₁-C₆ alkylene)COOR¹⁴; when R² is a

25 substituent on a heterocycloalkyl ring, R² is as defined, or is =O or ; and,
where R² is a substituent on a substitutable ring nitrogen, it is hydrogen,

(C₁-C₆)alkyl, aryl, (C₁-C₆)alkoxy, aryloxy, (C₁-C₆)alkylcarbonyl, arylcarbonyl, hydroxy, -(CH₂)₁₋₆CONR¹⁸R¹⁸,



wherein J is -O-, -NH-, -NR¹⁸- or -CH₂-;

5 R³ and R⁴ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁴, -O(CO)R¹⁴, -O(CO)OR¹⁶, -O(CH₂)₁₋₅OR¹⁴, -O(CO)NR¹⁴R¹⁵, -NR¹⁴R¹⁵, -NR¹⁴(CO)R¹⁵, -NR¹⁴(CO)OR¹⁶, -NR¹⁴(CO)NR¹⁵R¹⁹, -NR¹⁴SO₂R¹⁶, -COOR¹⁴, -CONR¹⁴R¹⁵, -COR¹⁴, -SO₂NR¹⁴R¹⁵, S(O)₀₋₂R¹⁶, -O(CH₂)₁₋₁₀-COOR¹⁴, -O(CH₂)₁₋₁₀CONR¹⁴R¹⁵, -(C₁-C₆)alkylene)-COOR¹⁴, -CH=CH-COOR¹⁴, -CF₃, -CN, -NO₂ and halogen;

10 R⁸ is hydrogen, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁴ or -COOR¹⁴;

15 R⁹ and R¹⁷ are independently 1-3 groups independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁴R¹⁵, OH and halogeno;

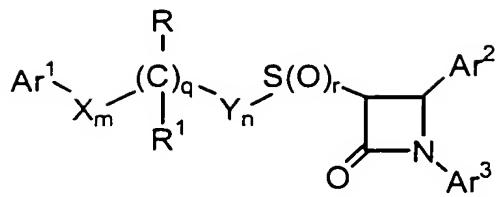
16 R¹⁴ and R¹⁵ are independently selected from the group consisting of hydrogen, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R¹⁶ is (C₁-C₆)alkyl, aryl or R¹⁷-substituted aryl;

R¹⁸ is hydrogen or (C₁-C₆)alkyl; and

20 R¹⁹ is hydrogen, hydroxy or (C₁-C₆)alkoxy.

6. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (V):



(V)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds
5 of Formula (V) or of the isomers thereof, or prodrugs of the compounds of Formula (V)
or of the isomers, salts or solvates thereof, wherein, in Formula (V) above:

Ar¹ is aryl, R¹⁰-substituted aryl or heteroaryl;

Ar² is aryl or R⁴-substituted aryl;

Ar³ is aryl or R⁵-substituted aryl;

X and Y are independently selected from the group consisting of -CH₂-,
-CH(lower alkyl)- and -C(dilower alkyl)-;

10 R is -OR⁶, -O(CO)R⁶, -O(CO)OR⁹ or -O(CO)NR⁶R⁷; R¹ is hydrogen, lower alkyl
or aryl; or R and R¹ together are =O;

q is 0 or 1;

15 r is 0, 1 or 2;

m and n are independently 0, 1, 2, 3, 4 or 5; provided that the sum of m, n and
q is 1, 2, 3, 4 or 5;

20 R⁴ is 1-5 substituents independently selected from the group consisting of
lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷,
-NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶,
-CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶,
-O(CH₂)₁₋₁₀CONR⁶R⁷, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

25 R⁵ is 1-5 substituents independently selected from the group consisting of
-OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷,

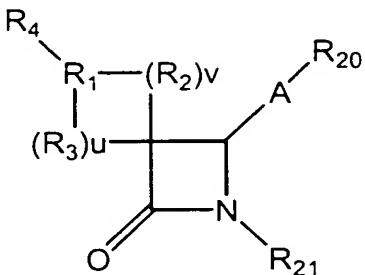
-NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂, halogen, -(lower alkylene)COOR⁶ and -CH=CH-COOR⁶;

5 R⁶, R⁷ and R⁸ are independently selected from the group consisting of hydrogen, lower alkyl, aryl and aryl-substituted lower alkyl;

R⁹ is lower alkyl, aryl or aryl-substituted lower alkyl; and

10 R¹⁰ is 1-5 substituents independently selected from the group consisting of lower alkyl, -OR⁶, -O(CO)R⁶, -O(CO)OR⁹, -O(CH₂)₁₋₅OR⁶, -O(CO)NR⁶R⁷, -NR⁶R⁷, -NR⁶(CO)R⁷, -NR⁶(CO)OR⁹, -NR⁶(CO)NR⁷R⁸, -NR⁶SO₂R⁹, -COOR⁶, -CONR⁶R⁷, -COR⁶, -SO₂NR⁶R⁷, -S(O)₀₋₂R⁹, -O(CH₂)₁₋₁₀-COOR⁶, -O(CH₂)₁₋₁₀CONR⁶R⁷, -CF₃, -CN, -NO₂ and halogen.

15 7. The composition according to claim 1, where the at least one sterol absorption inhibitor is represented by Formula (VI):



(VI)

20 or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VI) or of the isomers thereof, or prodrugs of the compounds of Formula (VI) or of the isomers, salts or solvates thereof, wherein in Formula (VI) above:

R₁ is

-CH-, -C(lower alkyl)-, -CF-, -C(OH)-, -C(C₆H₅)-, -C(C₆H₄-R₁₅)-, -N- or N^+ O⁻;

R_2 and R_3 are independently selected from the group consisting of:

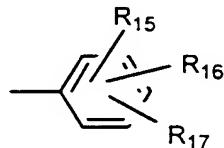
- CH_2 -, - $CH(lower\ alkyl)$ -, - $C(di-lower\ alkyl)$ -, - $CH=CH$ - and - $C(lower\ alkyl)=CH$ -, or
 R_1 together with an adjacent R_2 , or R_1 together with an adjacent R_3 , form a
- $CH=CH$ - or a - $CH=C(lower\ alkyl)$ - group;

5 u and v are independently 0, 1, 2 or 3, provided both are not zero; provided that
when R_2 is - $CH=CH$ - or - $C(lower\ alkyl)=CH$ -, v is 1; provided that when R_3 is
- $CH=CH$ - or - $C(lower\ alkyl)=CH$ -, u is 1; provided that when v is 2 or 3, the R_2 's can
be the same or different; and provided that when u is 2 or 3, the R_3 's can be the
same or different;

10 R_4 is selected from $B-(CH_2)_mC(O)-$, wherein m is 0, 1, 2, 3, 4 or 5;
 $B-(CH_2)_q-$, wherein q is 0, 1, 2, 3, 4, 5 or 6;
 $B-(CH_2)_e-Z-(CH_2)_r$, wherein Z is - O -, - $C(O)$ -, phenylene, - $N(R_8)$ - or - $S(O)O_2$ -, e is 0,
1, 2, 3, 4 or 5 and r is 0, 1, 2, 3, 4 or 5, provided that the sum of e and r is 0, 1, 2, 3, 4,
5 or 6;
15 $B-(C_2-C_6\ alkenylene)-$;
 $B-(C_4-C_6\ alkadienylene)-$;
 $B-(CH_2)_t-Z-(C_2-C_6\ alkenylene)-$, wherein Z is as defined above, and wherein t is 0, 1,
2 or 3, provided that the sum of t and the number of carbon atoms in the alkenylene
chain is 2, 3, 4, 5 or 6;
20 $B-(CH_2)_f-V-(CH_2)_g-$, wherein V is C_3-C_6 cycloalkylene, f is 1, 2, 3, 4 or 5 and g is 0,
1, 2, 3, 4 or 5, provided that the sum of f and g is 1, 2, 3, 4, 5 or 6;
 $B-(CH_2)_t-V-(C_2-C_6\ alkenylene)-$ or
 $B-(C_2-C_6\ alkenylene)-V-(CH_2)_t-$, wherein V and t are as defined above, provided that
the sum of t and the number of carbon atoms in the alkenylene chain is 2, 3, 4, 5 or 6;
25 $B-(CH_2)_a-Z-(CH_2)_b-V-(CH_2)_d-$, wherein Z and V are as defined above and a , b and d
are independently 0, 1, 2, 3, 4, 5 or 6, provided that the sum of a , b and d is 0, 1, 2, 3,
4, 5 or 6; or $T-(CH_2)_s-$, wherein T is cycloalkyl of 3-6 carbon atoms and s is 0, 1, 2, 3,
4, 5 or 6; or

1 R_1 and R_4 together form the group $B-CH=C-$;

B is selected from indanyl, indenyl, naphthyl, tetrahydronaphthyl, heteroaryl or W-substituted heteroaryl, wherein heteroaryl is selected from the group consisting of pyrrolyl, pyridinyl, pyrimidinyl, pyrazinyl, triazinyl, imidazolyl, thiazolyl, pyrazolyl, thienyl, oxazolyl and furanyl, and for nitrogen-containing heteroaryls, the N-oxides thereof, or



W is 1 to 3 substituents independently selected from the group consisting of lower alkyl, hydroxy lower alkyl, lower alkoxy, alkoxyalkyl, alkoxyalkoxy, alkoxycarbonylalkoxy, (lower alkoxyimino)-lower alkyl, lower alkanedioyl, lower alkyl lower alkanedioyl, allyloxy, -CF₃, -OCF₃, benzyl, R₇-benzyl, benzyloxy, R₇-benzyloxy, phenoxy, R₇-phenoxy, dioxolanyl, NO₂, -N(R₈)(R₉), N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, OH, halogeno, -CN, -N₃, -NHC(O)OR₁₀, -NHC(O)R₁₀, R₁₁O₂SNH-, (R₁₁O₂S)₂N-, -S(O)₂NH₂, -S(O)O-2R₈, tert-butyldimethyl-silyloxymethyl, -C(O)R₁₂, -COOR₁₉, -CON(R₈)(R₉), -CH=CHC(O)R₁₂, -lower alkylene-C(O)R₁₂, R₁₀C(O)(lower alkyleneoxy)-, N(R₈)(R₉)C(O)(lower

alkyleneoxy)- and

for substitution on ring carbon atoms, and the substituents on the substituted heteroaryl ring nitrogen atoms, when present, are selected from the group consisting of lower alkyl, lower alkoxy, -C(O)OR₁₀, -C(O)R₁₀, OH, N(R₈)(R₉)-lower alkylene-, N(R₈)(R₉)-lower alkyleneoxy-, -S(O)₂NH₂ and 2-(trimethylsilyl)-ethoxymethyl;

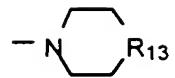
R₇ is 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, -COOH, NO₂, -N(R₈)(R₉), OH, and halogeno;

R₈ and R₉ are independently selected from H or lower alkyl;

R₁₀ is selected from lower alkyl, phenyl, R₇-phenyl, benzyl or R₇-benzyl;

R₁₁ is selected from OH, lower alkyl, phenyl, benzyl, R₇-phenyl or R₇-benzyl;

R₁₂ is selected from H, OH, alkoxy, phenoxy, benzyloxy,



, -N(R₈)(R₉), lower alkyl, phenyl or R₇-phenyl;

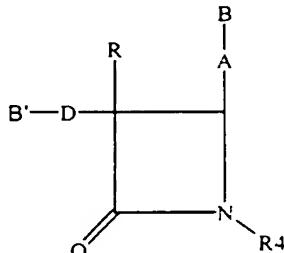
R₁₃ is selected from -O-, -CH₂-, -NH-, -N(lower alkyl)- or -NC(O)R₁₉;

R₁₅, R₁₆ and R₁₇ are independently selected from the group consisting of H and the groups defined for W; or R₁₅ is hydrogen and R₁₆ and R₁₇, together with adjacent carbon atoms to which they are attached, form a dioxolanyl ring;

5 R₁₉ is H, lower alkyl, phenyl or phenyl lower alkyl; and

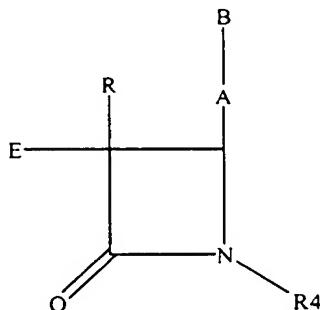
R₂₀ and R₂₁ are independently selected from the group consisting of phenyl, W-substituted phenyl, naphthyl, W-substituted naphthyl, indanyl, indenyl, tetrahydronaphthyl, benzodioxolyl, heteroaryl, W-substituted heteroaryl, benzofused heteroaryl, W-substituted benzofused heteroaryl and cyclopropyl, wherein heteroaryl is as defined above.

8. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VIIA) or (VIIB):



(VIIA)

or



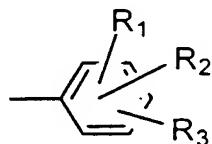
(VIIB)

20 or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formulae (VIIA) or (VIIB) or of the isomers thereof, or prodrugs of the compounds

of Formulae (VIIA) or (VII B) or of the isomers, salts or solvates thereof, wherein in Formulae (VIIA) and (VII B) above:

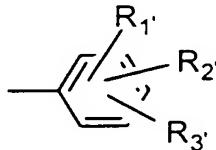
A is $-\text{CH}=\text{CH}-$, $-\text{C}\equiv\text{C}-$ or $-(\text{CH}_2)_p-$ wherein p is 0, 1 or 2;

B is



5

B' is



D is $-(\text{CH}_2)_m\text{C}(\text{O})-$ or $-(\text{CH}_2)_q-$ wherein m is 1, 2, 3 or 4 and q is 2, 3 or 4;

E is C₁₀ to C₂₀ alkyl or $-\text{C}(\text{O})-(\text{C}_9 \text{ to } \text{C}_{19})\text{-alkyl}$, wherein the alkyl is straight or branched, saturated or containing one or more double bonds;

10 R is hydrogen, C₁-C₁₅ alkyl, straight or branched, saturated or containing one or more double bonds, or B-(CH₂)_r-, wherein r is 0, 1, 2, or 3;

R₁, R₂, R₃, R_{1'}, R_{2'}, and R_{3'} are independently selected from the group consisting of hydrogen, lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino, dilower alkylamino, -NHC(O)OR₅, R₆O₂SNH- and -S(O)₂NH₂;

15 R₄ is

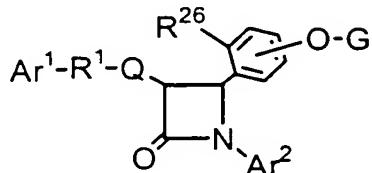


wherein n is 0, 1, 2 or 3;

R₅ is lower alkyl; and

20 R₆ is OH, lower alkyl, phenyl, benzyl or substituted phenyl wherein the substituents are 1-3 groups independently selected from the group consisting of lower alkyl, lower alkoxy, carboxy, NO₂, NH₂, OH, halogeno, lower alkylamino and dilower alkylamino.

9. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (VIII):

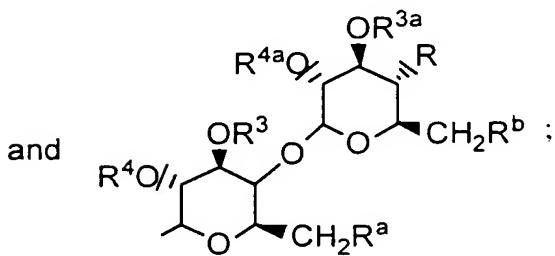
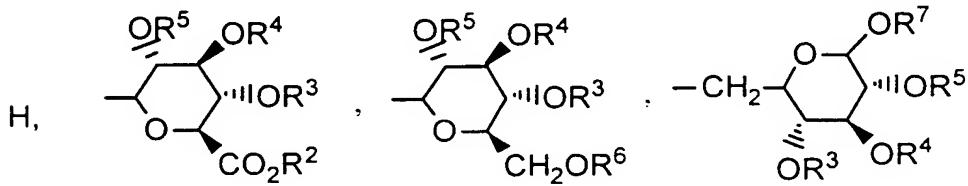


5 (VIII)

or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (VIII) or of the isomers thereof, or prodrugs of the compounds of Formula (VIII) or of the isomers, salts or solvates thereof, wherein, in Formula (VIII) above,

R26 is H or OG1;

10 G and G1 are independently selected from the group consisting of



provided that when R26 is H or

15 OH, G is not H;

R, Ra and Rb are independently selected from the group consisting of H, -OH, halogeno, -NH2, azido, (C1-C6)alkoxy(C1-C6)-alkoxy or -W-R30;

W is independently selected from the group consisting of -NH-C(O)-, -O-C(O)-, -O-C(O)-N(R31)-, -NH-C(O)-N(R31)- and -O-C(S)-N(R31)-;

R2 and R6 are independently selected from the group consisting of H, (C1-C6)alkyl, aryl and aryl(C1-C6)alkyl;

R3, R4, R5, R7, R3a and R4a are independently selected from the group consisting of H, (C1-C6)alkyl, aryl(C1-C6)alkyl, -C(O)(C1-C6)alkyl and

-C(O)aryl;

R³⁰ is selected from the group consisting of R³²-substituted T,
R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl,
R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and
R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

5 R³¹ is selected from the group consisting of H and (C₁-C₄)alkyl;

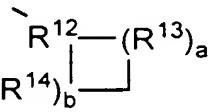
T is selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl,
oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl,
imidazolyl and pyridyl;

10 R³² is independently selected from 1-3 substituents independently selected
from the group consisting of halogeno, (C₁-C₄)alkyl, -OH, phenoxy,
-CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl,
(C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl,
-C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and
pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is
15 attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or
morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl,
N-methylpiperazinyl, indolinyl or morpholinyl group;

Ar¹ is aryl or R¹⁰-substituted aryl;

20 Ar² is aryl or R¹¹-substituted aryl;

Q is a bond or, with the 3-position ring carbon of the azetidinone,

forms the spiro group (R¹⁴)_b  ; and

R¹ is selected from the group consisting of

-(CH₂)_q-, wherein q is 2-6, provided that when Q forms a spiro ring, q

25 can also be zero or 1;

-(CH₂)_e-E-(CH₂)_r, wherein E is -O-, -C(O)-, phenylene, -NR²²- or
-S(O)₀₋₂-, e is 0-5 and r is 0-5, provided that the sum of e and r is 1-6;
-(C₂-C₆)alkenylene-; and

$-(CH_2)^f-V-(CH_2)^g-$, wherein V is C₃-C₆ cycloalkylene, f is 1-5 and g is 0-5, provided that the sum of f and g is 1-6;

R¹² is

$\begin{matrix} | & | \\ -CH-, -C(C_1-C_6 \text{ alkyl})-, -CF-, -C(OH)-, -C(C_6H_4-R^{23})-, -N-, \text{ or } -^+NO^- \end{matrix}$;

5 R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero;

provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1;

provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1;

provided that when a is 2 or 3, the R¹³'s can be the same or different; and

provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

and when Q is a bond, R¹ also can be:

15 $\begin{matrix} R^{15} & R^{17} & R^{15} & R^{15} \\ | & | & | & | \\ -M-Y_d-C-Z_h-, -X_m-(C_s)-Y_n-(C_t)-Z_p- \text{ or } -X_j-(C_v)-Y_k-S(O)_{0-2}- \\ R^{16} & R^{18} & R^{16} & R^{16} \end{matrix}$

M is -O-, -S-, -S(O)- or -S(O)2-;

X, Y and Z are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆)alkyl- and -C(di-(C₁-C₆)alkyl);

20 R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, S(O)₀₋₂R²¹, -O(CH₂)₁₋₁₀-COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁵ and R¹⁷ are independently selected from the group consisting of -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹ and -O(CO)NR¹⁹R²⁰;

R¹⁶ and R¹⁸ are independently selected from the group consisting of H, (C₁-C₆)alkyl and aryl; or R¹⁵ and R¹⁶ together are =O, or R¹⁷ and R¹⁸ together are =O;

5 d is 1, 2 or 3;

h is 0, 1, 2, 3 or 4;

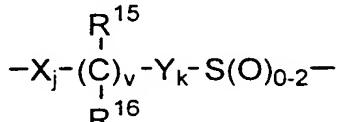
s is 0 or 1; t is 0 or 1; m, n and p are independently 0-4;

provided that at least one of s and t is 1, and the sum of m, n, p, s and t is 1-6;

provided that when p is 0 and t is 1, the sum of m, s and n is 1-5; and provided that when p is 0 and s is 1, the sum of m, t and n is 1-5;

v is 0 or 1;

j and k are independently 1-5, provided that the sum of j, k and v is 1-5;



10 and when Q is a bond and R¹ is Ar¹ can also be pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

15 R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

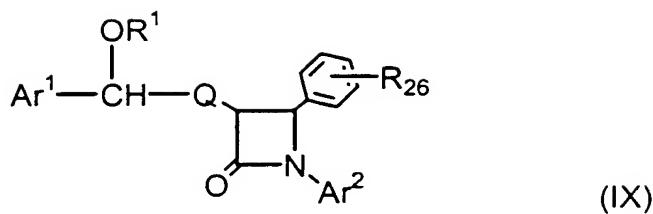
20 R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂,

-NR¹⁹R²⁰, -OH and halogeno; and

25 R²⁵ is H, -OH or (C₁-C₆)alkoxy.

10. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is represented by Formula (IX):

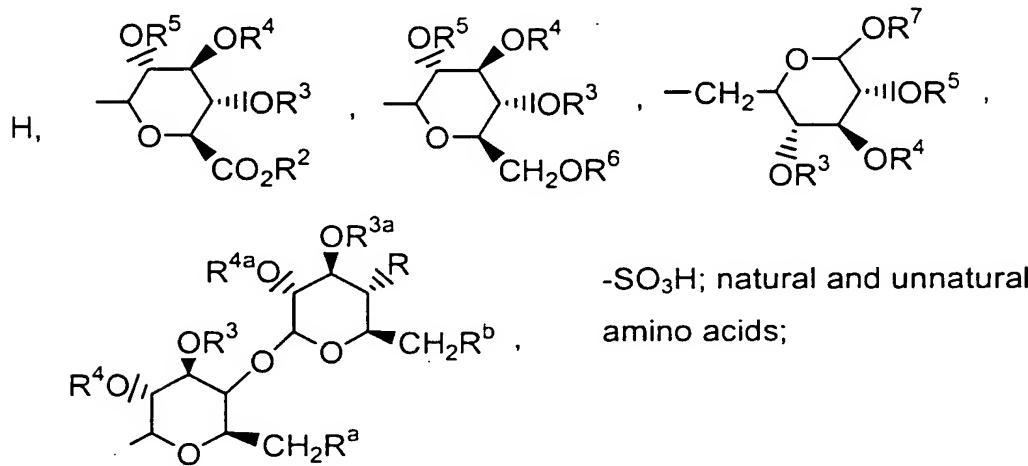


or isomers thereof, or pharmaceutically acceptable salts or solvates of the compounds of Formula (IX) or of the isomers thereof, or prodrugs of the compounds of Formula (IX) or of the isomers, salts or solvates thereof, wherein, in Formula (IX) above,

5 R²⁶ is selected from the group consisting of:

- a) OH;
- b) OCH₃;
- c) fluorine and
- d) chlorine;

10 R¹ is selected from the group consisting of



15 R, R^a and R^b are independently selected from the group consisting of H, -OH, halogeno, -NH₂, azido, (C₁-C₆)alkoxy(C₁-C₆)-alkoxy and -W-R³⁰;

W is independently selected from the group consisting of
-NH-C(O)-, -O-C(O)-, -O-C(O)-N(R³¹)-, -NH-C(O)-N(R³¹)- and
-O-C(S)-N(R³¹)-;

15 R² and R⁶ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl(C₁-C₆)alkyl;

R³, R⁴, R⁵, R⁷, R^{3a} and R^{4a} are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl(C₁-C₆)alkyl, -C(O)(C₁-C₆)alkyl and -C(O)aryl;

R³⁰ is independently selected from the group consisting of R³²-substituted T, R³²-substituted-T-(C₁-C₆)alkyl, R³²-substituted-(C₂-C₄)alkenyl,

5 R³²-substituted-(C₁-C₆)alkyl, R³²-substituted-(C₃-C₇)cycloalkyl and R³²-substituted-(C₃-C₇)cycloalkyl(C₁-C₆)alkyl;

R³¹ is independently selected from the group consisting of H and (C₁-C₄)alkyl;

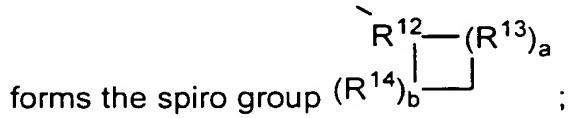
T is independently selected from the group consisting of phenyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iosthiazolyl, benzothiazolyl, thiadiazolyl, pyrazolyl, imidazolyl and pyridyl;

R³² is independently selected from 1-3 substituents independently selected from the group consisting of H, halogeno, (C₁-C₄)alkyl, -OH, phenoxy, -CF₃, -NO₂, (C₁-C₄)alkoxy, methylenedioxy, oxo, (C₁-C₄)alkylsulfanyl, (C₁-C₄)alkylsulfinyl, (C₁-C₄)alkylsulfonyl, -N(CH₃)₂, -C(O)-NH(C₁-C₄)alkyl, -C(O)-N((C₁-C₄)alkyl)₂, -C(O)-(C₁-C₄)alkyl, -C(O)-(C₁-C₄)alkoxy and pyrrolidinylcarbonyl; or R³² is a covalent bond and R³¹, the nitrogen to which it is attached and R³² form a pyrrolidinyl, piperidinyl, N-methyl-piperazinyl, indolinyl or morpholinyl group, or a (C₁-C₄)alkoxycarbonyl-substituted pyrrolidinyl, piperidinyl, N-methylpiperazinyl, indolinyl or morpholinyl group;

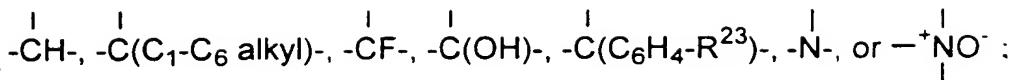
Ar¹ is aryl, R¹⁰-substituted aryl; pyridyl, isoxazolyl, furanyl, pyrrolyl, thienyl, imidazolyl, pyrazolyl, thiazolyl, pyrazinyl, pyrimidinyl or pyridazinyl;

Ar² is aryl or R¹¹-substituted aryl;

25 Q is -(CH₂)_q-, wherein q is 2-6, or, with the 3-position ring carbon of the azetidinone,



R¹² is



R¹³ and R¹⁴ are independently selected from the group consisting of -CH₂-, -CH(C₁-C₆ alkyl)-, -C(di-(C₁-C₆) alkyl), -CH=CH- and -C(C₁-C₆ alkyl)=CH-; or R¹² together with an adjacent R¹³, or R¹² together with an adjacent R¹⁴, form a
5 -CH=CH- or a -CH=C(C₁-C₆ alkyl)- group;

a and b are independently 0, 1, 2 or 3, provided both are not zero; provided that when R¹³ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, a is 1; provided that when R¹⁴ is -CH=CH- or -C(C₁-C₆ alkyl)=CH-, b is 1; provided that when a is 2 or 3, the R¹³'s can be the same or different; and provided that when b is 2 or 3, the R¹⁴'s can be the same or different;

R¹⁰ and R¹¹ are independently selected from the group consisting of 1-3 substituents independently selected from the group consisting of (C₁-C₆)alkyl, -OR¹⁹, -O(CO)R¹⁹, -O(CO)OR²¹, -O(CH₂)₁₋₅OR¹⁹, -O(CO)NR¹⁹R²⁰, -NR¹⁹R²⁰, -NR¹⁹(CO)R²⁰, -NR¹⁹(CO)OR²¹, -NR¹⁹(CO)NR²⁰R²⁵, -NR¹⁹SO₂R²¹, -COOR¹⁹, -CONR¹⁹R²⁰, -COR¹⁹, -SO₂NR¹⁹R²⁰, -S(O)O-₂R²¹, -O(CH₂)₁₋₁₀COOR¹⁹, -O(CH₂)₁₋₁₀CONR¹⁹R²⁰, -(C₁-C₆ alkylene)-COOR¹⁹, -CH=CH-COOR¹⁹, -CF₃, -CN, -NO₂ and halogen;

R¹⁹ and R²⁰ are independently selected from the group consisting of H, (C₁-C₆)alkyl, aryl and aryl-substituted (C₁-C₆)alkyl;

20 R²¹ is (C₁-C₆)alkyl, aryl or R²⁴-substituted aryl;

R²² is H, (C₁-C₆)alkyl, aryl (C₁-C₆)alkyl, -C(O)R¹⁹ or -COOR¹⁹;

R²³ and R²⁴ are independently 1-3 groups independently selected from the group consisting of H, (C₁-C₆)alkyl, (C₁-C₆)alkoxy, -COOH, NO₂, -NR¹⁹R²⁰, -OH and halogeno; and

25 R²⁵ is H, -OH or (C₁-C₆)alkoxy.

11. The composition according to claim 1, wherein the at least one blood modifier is selected from the group consisting of anti-coagulants, antithrombotic agents, fibrinogen receptor antagonists, platelet inhibitors, platelet aggregation inhibitors, hemorrhologic agents, lipoprotein associated coagulation inhibitor, Factor Vlla inhibitors, Factor Xa inhibitors and combinations thereof.

12. The composition according to claim 11, wherein the at least one blood modifier is an anti-coagulant.

13. The composition according to claim 12, wherein the anti-coagulant is selected from the group consisting of argatroban, bivalirudin, dalteparin sodium, desirudin, dicumarol, lyapolate sodium, nafamostat mesylate, phenprocoumon, tinzaparin sodium, warfarin sodium and combinations thereof.

14. The composition according to claim 11, wherein the at least one blood modifier is an anti-thrombotic agent.

15. The composition according to claim 14, wherein the antithrombotic agent is selected from the group consisting of anagrelide hydrochloride, bivalirudin, cilostazol, dalteparin sodium, danaparoid sodium, dazoxiben hydrochloride, efegatran sulfate, enoxaparin sodium, fluretofen, ifetroban, ifetroban sodium, lamifiban, lotrafiban hydrochloride, napsagatran, orbofiban acetate, roxifiban acetate, sibrafiban, tinzaparin sodium, trifénagrel, abciximab, zolimomab aritox and combinations thereof.

16. The composition according to claim 11, wherein the at least one blood modifier is a fibrinogen receptor antagonist.

17. The composition according to claim 16, wherein the fibrinogen receptor antagonist is selected from the group consisting of roxifiban acetate, fradafiban, orbofiban, lotrafiban hydrochloride, tirofiban, xemilofiban, monoclonal antibody 7E3, sibrafiban and combinations thereof.

18. The composition according to claim 11, wherein the at least one blood modifier is a platelet inhibitor.

19. The composition according to claim 18, wherein the platelet inhibitor is
5 selected from the group consisting of cilostazol, clopidogrel bisulfate, epoprostenol, epoprostenol sodium, ticlopidine hydrochloride, aspirin, ibuprofen, naproxen, sulindac, idomethacin, mefenamate, droxicam, diclofenac, sulfinpyrazone, piroxicam, dipyridamole and combinations thereof.

10 20. The composition according to claim 19, wherein the platelet inhibitor is aspirin.

15 21. The composition according to claim 11, wherein the at least one blood modifier is a platelet aggregation inhibitor.

20 22. The composition according to claim 21, wherein the platelet aggregation inhibitor is selected from the group consisting of acadesine, beraprost, beraprost sodium, ciprostene calcium, itazigrel, lifarizine, lotrafiban hydrochloride, orbofiban acetate, oxagrelate, fradafiban, orbofiban, tirofiban, xemilofiban and combinations thereof.

25 23. The composition according to claim 11, wherein the at least one blood modifier is a hemorrheologic agent.

25 24. The composition according to claim 23, wherein the hemorrheologic agent is pentoxifylline.

30 25. The composition according to claim 11, wherein the at least one blood modifier is a lipoprotein associated coagulation inhibitor.

26. The composition according to claim 11, wherein the at least one blood modifier is a Factor Xa inhibitor.

27. The composition according to claim 26, wherein the Factor Xa inhibitor is selected from the group consisting of disubstituted pyrazolines, disubstituted triazolines, substituted n-[(aminoiminomethyl)phenyl] propylamides, substituted n-5 [(aminomethyl)phenyl] propylamides, tissue factor pathway inhibitor (TFPI), low molecular weight heparins, heparinoids, benzimidazolines, benzoxazolinones, benzopiperazinones, indanones, dibasic (amidinoaryl) propanoic acid derivatives, amidinophenyl-pyrrolidines, amidinophenyl-pyrrolines, amidinophenyl-isoxazolidines, amidinoindoles, amidinoazoles, bis-aryl sulfonylaminobenzamide derivatives, peptidic Factor Xa inhibitors and combinations thereof.

28. The composition according to claim 1, wherein the at least one blood modifier is a low molecular weight heparin.

29. The composition according to claim 28, wherein the low molecular weight heparin is selected from the group of enoxaparin, nadroparin, dalteparin, certoparin, parnaparin, reviparin, tinzaparin and combinations thereof.

30. The composition according to claim 1, wherein the at least one blood modifier is a heparinoid.

31. The composition according to claim 30, wherein the heparinoid is danaparoid.

32. The composition according to claim 11, wherein the at least one blood modifier is a Factor VIIa inhibitor.

33. The composition according to claim 32, wherein the Factor VIIa Inhibitor is selected from the group consisting of 4H-31-benzoxazin-4-ones, 4H-3,1-30 benzoxazin-4-thiones, quinazolin-4-ones, quinazolin-4-thiones, benzothiazin-4-ones, imidazolyl-boronic acid-derived peptide analogues TFPI-derived peptides and combinations thereof.

34. The composition according to claim 32, wherein the Factor VIIa Inhibitor is selected from the group consisting of naphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)-benzyl]-2-oxo-pyrrolidin-3-(S)-yl} amide trifluoroacetate, dibenzofuran-2-sulfonic acid {1-[3-(aminomethyl)-benzyl]-5-oxo-pyrrolidin-3-yl}-amide, tolulene-4-sulfonic acid {1-[3-(aminoiminomethyl)-benzyl]-2-oxo-pyrrolidin-3-(S)-yl}-amide trifluoroacetate, 3,4-dihydro-1H-isoquinoline-2-sulfonic acid {1-[3-(aminoiminomethyl)-benzyl]-2-oxo-pyrrolin-3-(S)-yl}-amide trifluoroacetate and combinations thereof.

10 35. The composition according to claim 1, further comprising at least one cholesterol biosynthesis inhibitor.

15 36. The composition according to claim 35, wherein the at least one cholesterol biosynthesis inhibitor comprises at least one HMG CoA reductase inhibitor.

20 37. The composition according to claim 36, wherein the at least one HMG CoA reductase inhibitor is simvastatin.

38. The composition according to claim 1, further comprising at least one bile acid sequestrant.

25 39. The composition according to claim 1, further comprising at least one low-density lipoprotein receptor activator.

40. The composition according to claim 1, further comprising at least one Omega 3 fatty acid.

30 41. The composition according to claim 1, further comprising at least one natural water soluble fiber.

42. The composition according to claim 1, further comprising at least one antioxidant or vitamin.

5 43. The composition according to claim 1, wherein the at least one blood modifier is administered to a mammal in an amount ranging from about 1 to about 1000 milligrams of blood modifier per day.

10 44. The composition according to claim 1, wherein the at least one sterol absorption inhibitor is administered to a mammal in an amount ranging from about 0.1 to about 1000 milligrams of sterol absorption inhibitor per day.

15 45. A pharmaceutical composition for the treatment or prevention of vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising a therapeutically effective amount of the composition of claim 1 and a pharmaceutically acceptable carrier.

46. A method of treating or preventing vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment:

20 (a) an effective amount of at least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate thereof or prodrug of the at least one sterol absorption inhibitor or of the salt or solvate thereof; and

25 (b) an effective amount of at least one blood modifier for vascular conditions which is different from the sterol absorption inhibitor.

47. A therapeutic combination comprising:

30 (a) a first amount of at least one sterol absorption inhibitor or pharmaceutically acceptable salt or solvate thereof or prodrug of the at least one sterol absorption inhibitor or of the salt or solvate thereof; and

(b) a second amount of at least one blood modifier different from the sterol absorption inhibitor,

wherein the first amount and the second amount together comprise a therapeutically effective amount for the treatment or prevention of vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal.

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48. A method of treating or preventing vascular conditions, diabetes, obesity or lowering a concentration of a sterol in plasma of a mammal, comprising the step of administering to a mammal in need of such treatment an effective amount of the therapeutic combination of claim 47:

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